10706835

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L2             10 S L1
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L6              6 S L5
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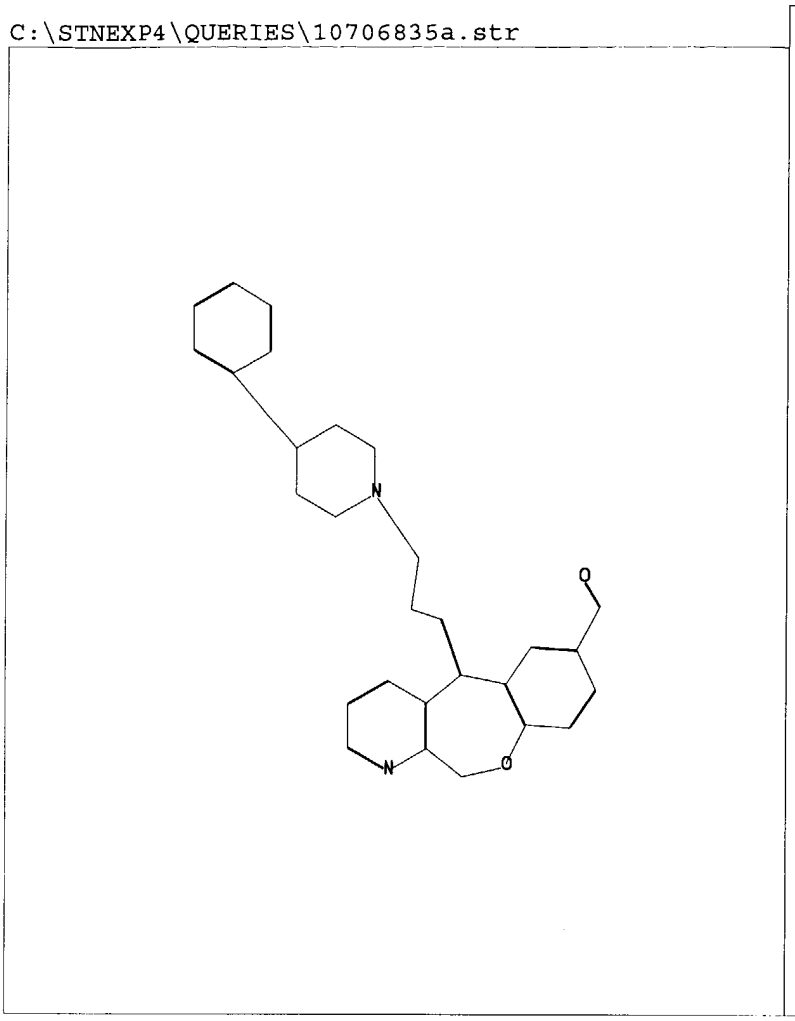

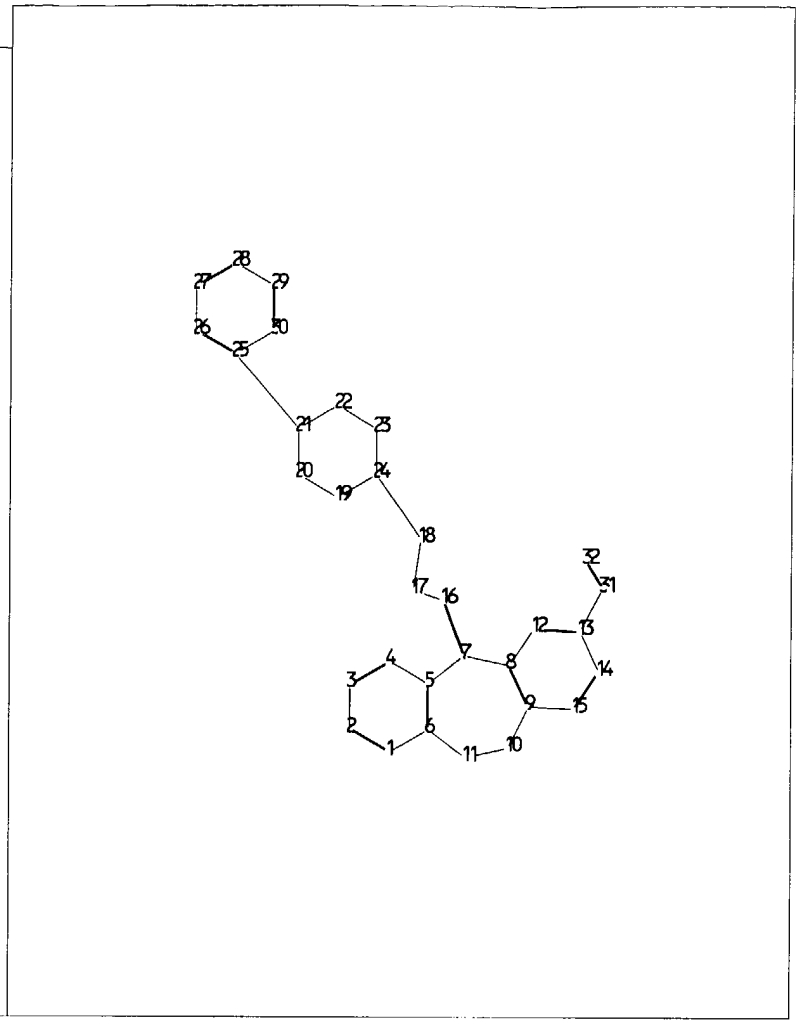

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exact/norm bonds :
5-7 6-11 7-8 9-10 10-11 18-24 19-20 19-24 20-21 21-22 22-23 23-24 31-32
exact bonds :
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normalized bonds :
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32:CLASS

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L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:430808 CAPLUS
DN 140:406829
TI Preparation of benzoxepino[3,4-b]pyridines as CCR1-antagonists for the treatment of demyelinating inflammatory diseases.
IN Carson, Kenneth G.; Harriman, Geraldine C. B.
PA Millennium Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043965	A1	20040527	WO 2003-US35817	20031112
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004106639	A1	20040603	US 2003-706835	20031112
PRAI	US 2002-425947P	P	20021113		

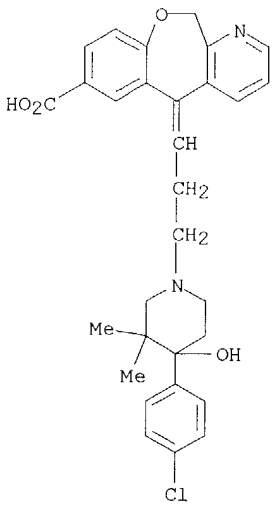
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

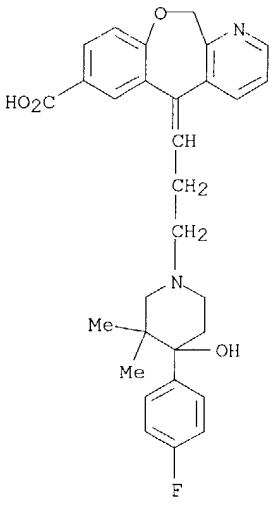
AB Title compds. I [R1 = halo] and their pharmaceutically acceptable salts were prepared For example, sodium hypochlorite mediated oxidation of Me ketone II (R2 = COMe), prepared from 4-oxopiperidine-1-carboxylic acid tert-Bu ester in 8-steps, afforded benzoxepino[3,4-b]pyridine II (R2 = CO2H) in 96% yield. In inhibition of 125I-MIP-1α binding to THP-1 cell membrane assays, 3-examples of compds. I exhibited Ki values ranging from 2.23->1000 nM, e.g., the Ki of benzoxepino[3,4-b]pyridine II (R2 = CO2H) was 2.3 nM. Compds. I were claimed useful for the treatment of multiple sclerosis.

IT **690660-14-1P 690660-15-2P 690660-16-3P**
690660-17-4P 690660-18-5P 690660-19-6P
690660-20-9P 690660-24-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoxepino[3,4-b]pyridines as CCR1-antagonists for the treatment of demyelinating inflammatory diseases.)

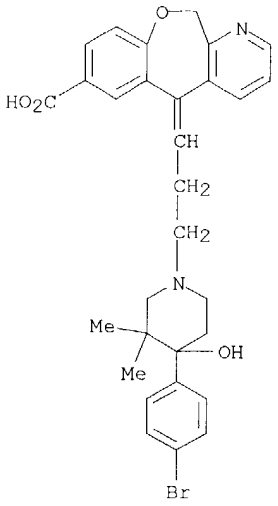
RN 690660-14-1 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 690660-15-2 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

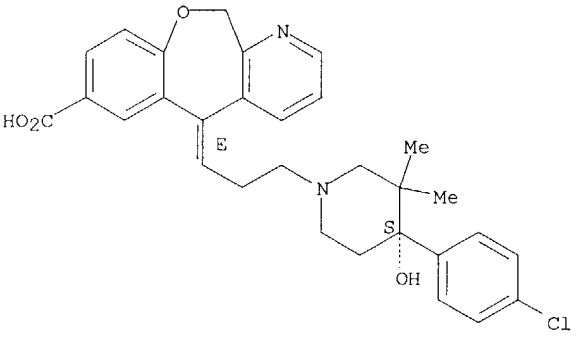


RN 690660-16-3 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-bromophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



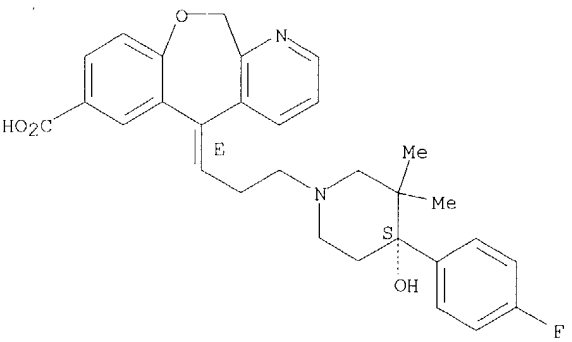
RN 690660-17-4 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro-, (5E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



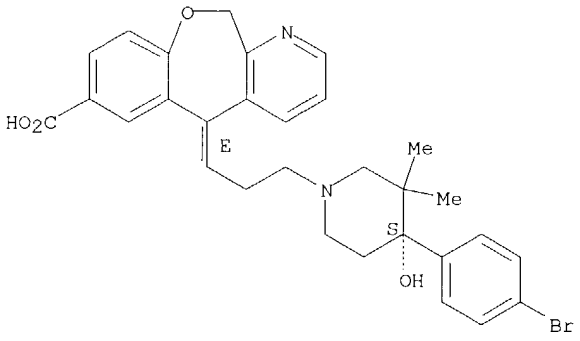
RN 690660-18-5 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-fluorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro-, (5E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



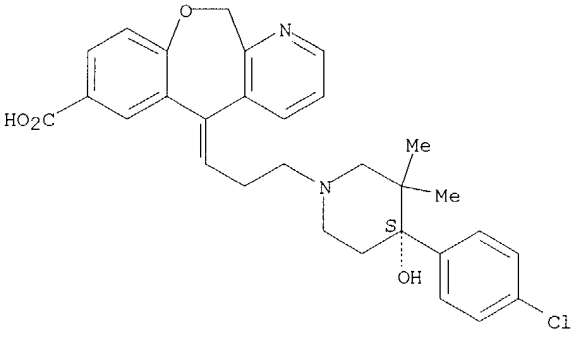
RN 690660-19-6 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-bromophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro-, (5E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



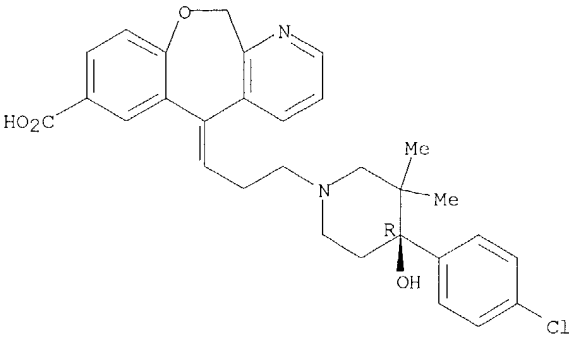
RN 690660-20-9 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 690660-24-3 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4R)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



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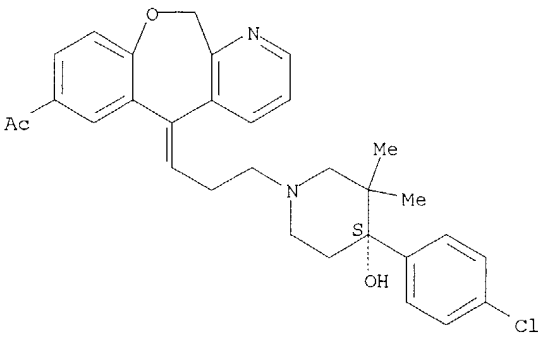
L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
RE
(1) Kyowa Hakko Kogyo Kk; WO 0109138 A 2001 CAPLUS
(2) Ohshima, E; US 2002169155 A1 2002

IT **690660-23-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzoxepino[3,4-b]pyridines as CCR1-antagonists for the treatment of demyelinating inflammatory diseases.)
RN 690660-23-2 CAPLUS
CN Ethanone, 1-[5-[3-[(4S)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:869579 CAPLUS
DN 137:370077
TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists
IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo; Sone, Hiroki; Kotera, Osamu; Harriman, Geraldine C. B.; Carson, Kenneth G.
PA Millennium Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 138 pp., Cont.-in-part of U. S. Ser. No. 627,886.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002169155	A1	20021114	US 2001-989086	20011121
	US 6613905	B1	20030902	US 1998-148823	19980904
→	US 6329385	B1	20011211	US 1999-235102	19990121
	US 2002119973	A1	20020829	US 1999-362837	19990728
	US 6509346	B2	20030121		
	WO 2003045942	A2	20030605	WO 2002-US36953	20021113 ←
	WO 2003045942	A3	20030912		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 1998-148823 A2 19980904
US 1999-235102 A2 19990121
US 1999-362837 A2 19990728
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US 1998-10320 B2 19980121
US 2001-989086 A2 20011121
OS MARPAT 137:370077
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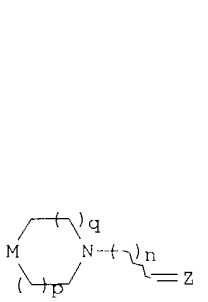

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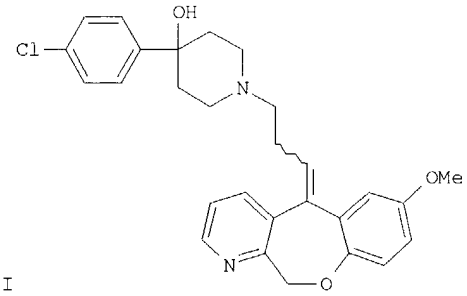


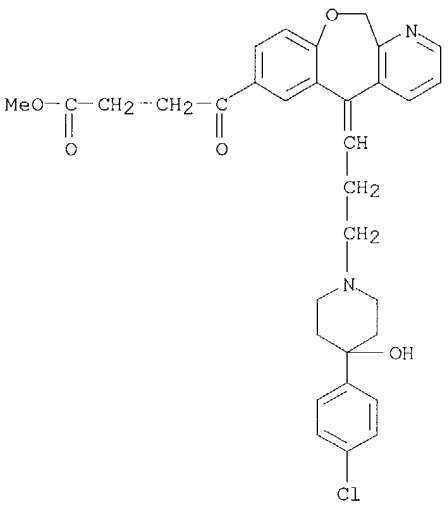
II

AB Therapeutically effective compds. I [Z = (un)substituted cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4; M = NR2, CR1R2, OCR1R2O, CH2CR1R2O; R1 = H, OH, N3, etc.; R2 = H, acyl, aryl, etc.; q1 = 0-3; q2 = 0-1; ring containing M is substituted or unsubstituted; and physiol. acceptable salts thereof] were prepared for treatment of diseases associated with aberrant leukocyte recruitment and/or activation (no data). I displayed chemokine binding activities with IC50 values ranging from < 1 μM to < 1000 μM. Thus, the [{[1]benzoxepino[2,3-b]pyridinylidene)propyl]piperidinol II was prepared in three steps by reaction of 5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-one with cyclopropylmagnesium bromide in THF, followed by ring cleavage-dehydration-bromination with HBr, and addition of 4-(4-chlorophenyl)-4-hydroxypiperidine to the bromide in DMF. Major and minor isomers were separated

IT **324785-37-7P**, [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-γ-oxo-, methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 324785-37-7 CAPLUS

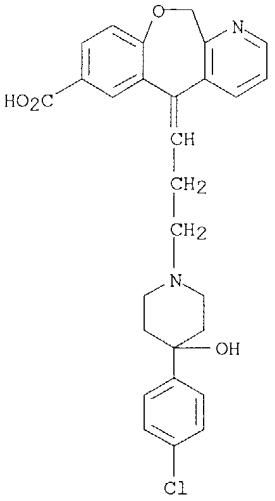
CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-γ-oxo-, methyl ester (9CI) (CA INDEX NAME)



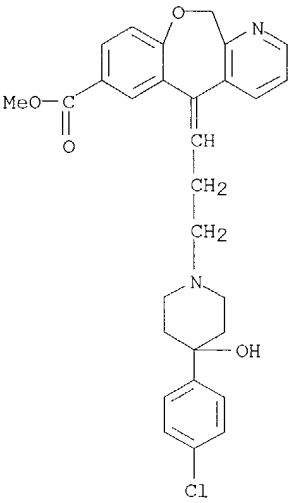
IT **233260-14-5P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-
324782-15-2P, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-

, methyl ester **324782-79-8P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- **324782-81-2P**, Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

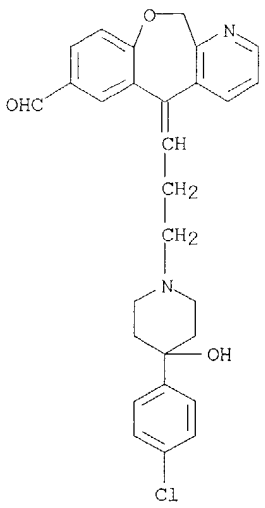
RN 233260-14-5 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



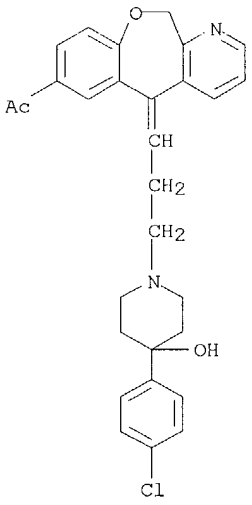
RN 324782-15-2 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)



RN 324782-79-8 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 324782-81-2 CAPLUS
CN Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

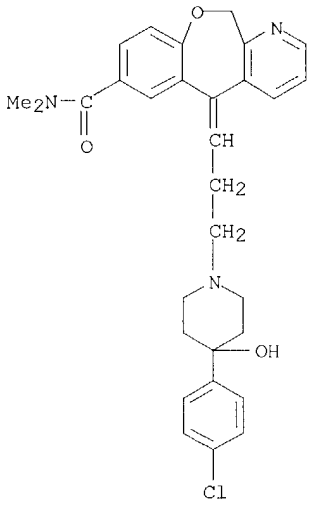


IT **233261-19-3P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- **324782-09-4P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-methyl- **324782-11-8P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- **324782-13-0P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-N,N-diethyl-5,11-dihydro- **324782-61-8P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- **324782-63-0P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[[(cyclohexyloxy)carbonyl]oxy]ethyl ester **324782-65-2P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(ethoxycarbonyl)oxy]ethyl ester **324783-35-9P**, 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- **324783-37-1P**, 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-

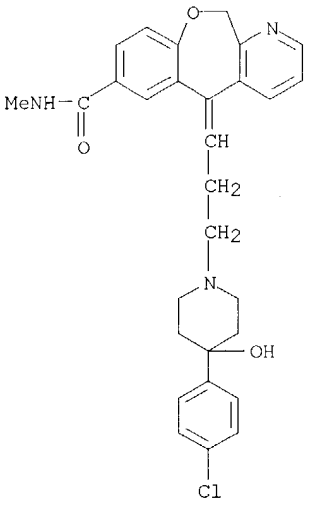
piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-2-methyl- **324783-39-3P**, Methanone, [5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]cyclopropyl- **324783-41-7P**, [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-γ-oxo- **324783-98-4P**, [1]Benzoxepino[3,4-b]pyridine-7-acetic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-α-oxo- **324784-40-9P**, [1]Benzoxepino[3,4-b]pyridine-7-propanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-β-oxo-, ethyl ester **324784-42-1P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- **324784-62-5P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, ethyl ester **324784-64-7P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-ethoxy-2-oxoethyl ester **324784-66-9P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclohexyl ester **324784-68-1P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, propyl ester **324784-70-5P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, butyl ester **324784-72-7P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-methylethyl ester **324784-74-9P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclopentyl ester **324784-76-1P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(4-morpholinyl)ethyl ester **324784-78-3P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(diethylamino)ethyl ester **324784-80-7P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, (2,2-dimethyl-1-oxopropoxy)methyl ester **324784-82-9P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-hydroxyethyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233261-19-3 CAPLUS

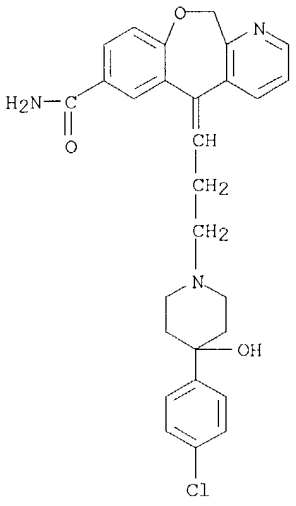
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



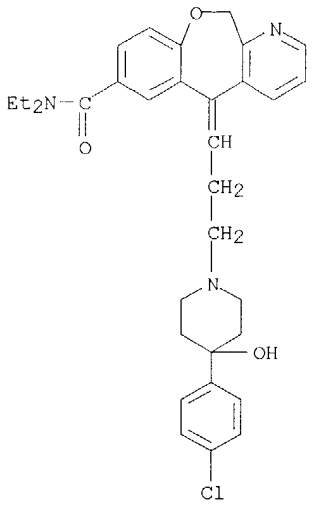
RN 324782-09-4 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



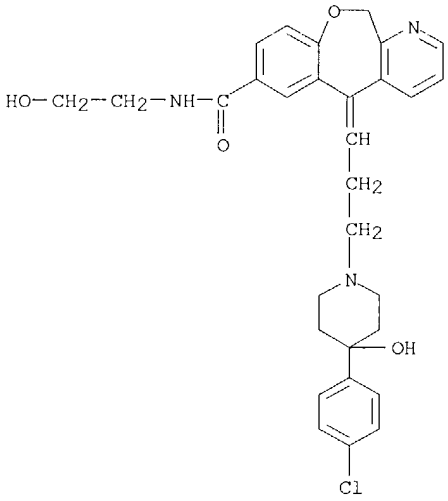
RN 324782-11-8 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



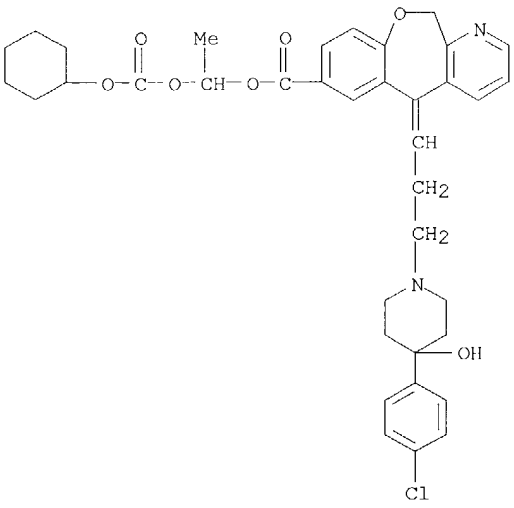
RN 324782-13-0 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-N,N-diethyl-5,11-dihydro- (9CI) (CA INDEX NAME)



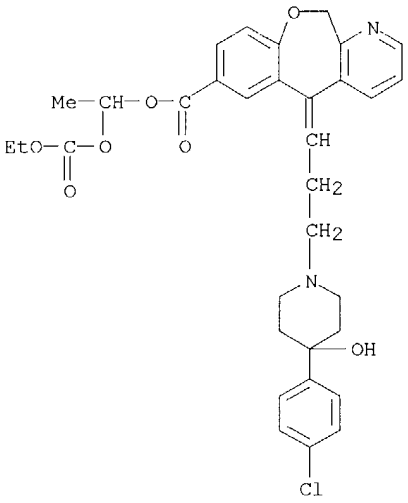
RN 324782-61-8 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



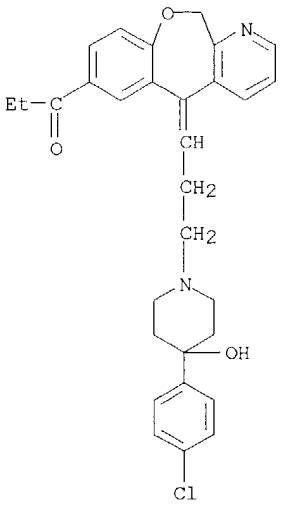
RN 324782-63-0 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[[(cyclohexyloxy)carbonyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



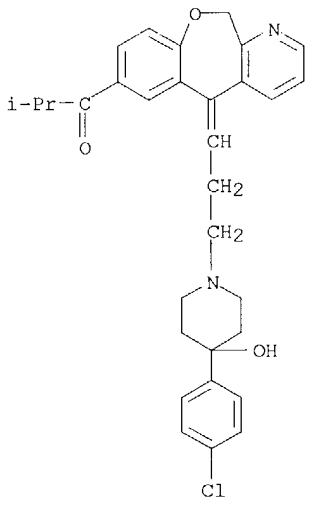
RN 324782-65-2 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(ethoxycarbonyl)oxy]ethyl ester (9CI) (CA INDEX NAME)



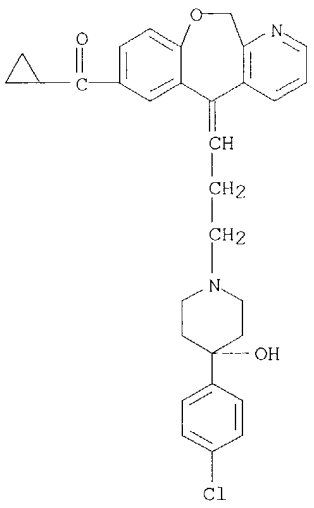
RN 324783-35-9 CAPLUS
CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



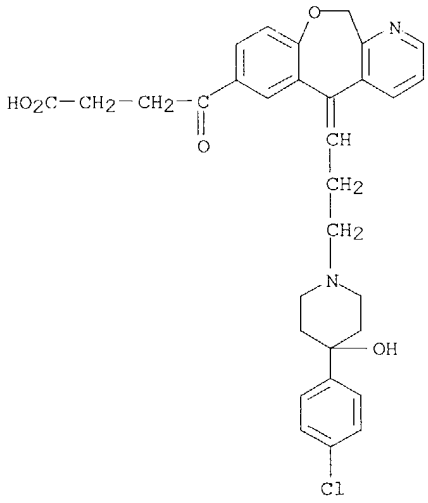
RN 324783-37-1 CAPLUS
CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)



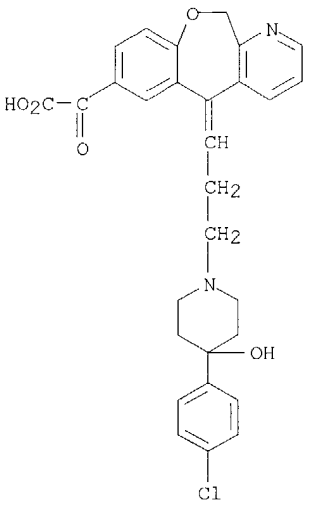
RN 324783-39-3 CAPLUS
CN Methanone, [5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]cyclopropyl- (9CI) (CA INDEX NAME)



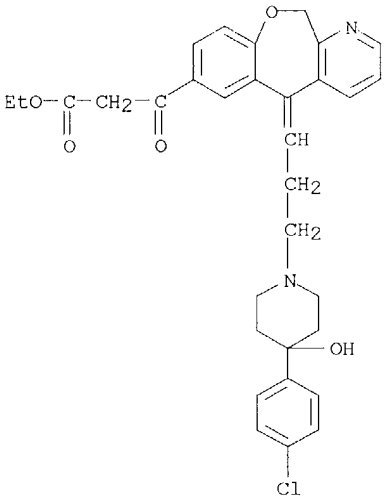
RN 324783-41-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-γ-oxo- (9CI) (CA INDEX NAME)



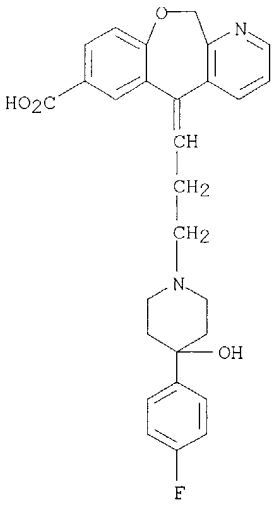
RN 324783-98-4 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-acetic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-α-oxo- (9CI) (CA INDEX NAME)



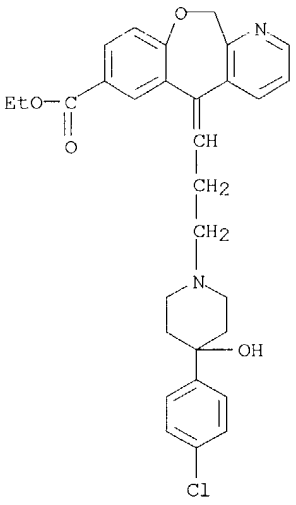
RN 324784-40-9 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-propanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-β-oxo-, ethyl ester (9CI) (CA INDEX NAME)



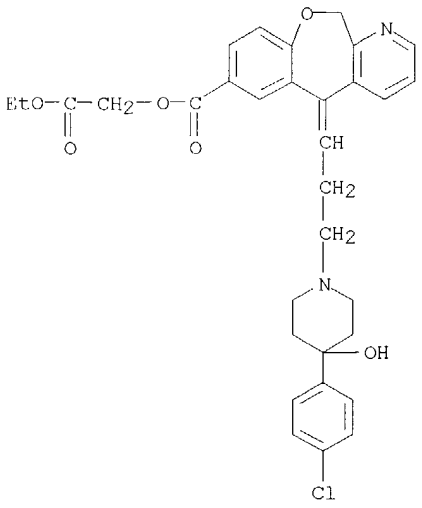
RN 324784-42-1 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



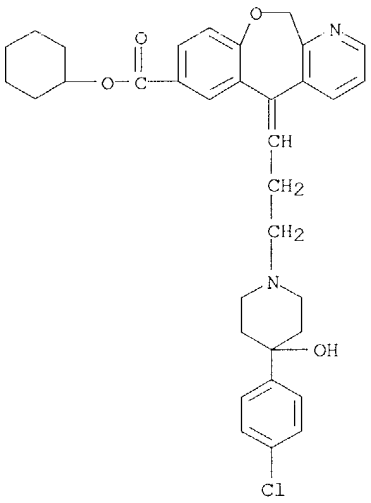
RN 324784-62-5 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, ethyl ester (9CI) (CA INDEX NAME)



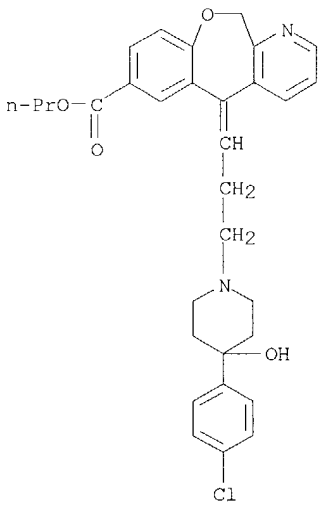
RN 324784-64-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-ethoxy-2-oxoethyl ester (9CI) (CA INDEX NAME)



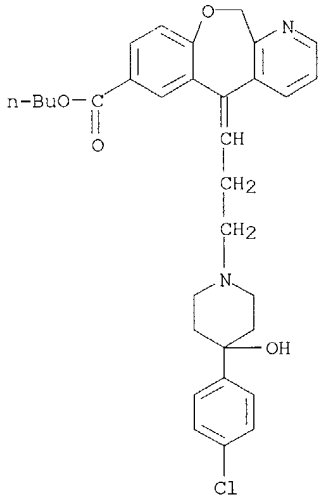
RN 324784-66-9 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclohexyl ester (9CI) (CA INDEX NAME)



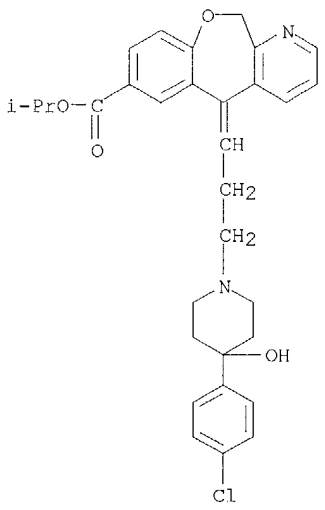
RN 324784-68-1 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, propyl ester (9CI) (CA INDEX NAME)



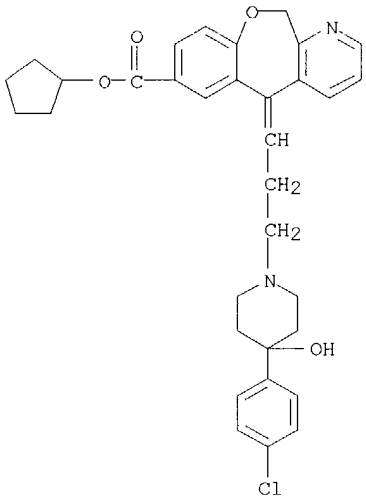
RN 324784-70-5 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, butyl ester (9CI) (CA INDEX NAME)



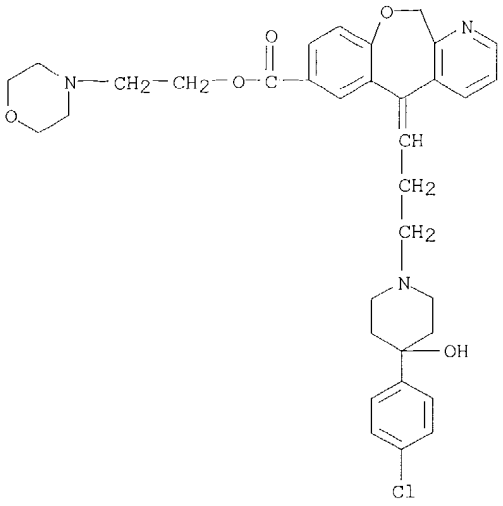
RN 324784-72-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-methylethyl ester (9CI) (CA INDEX NAME)



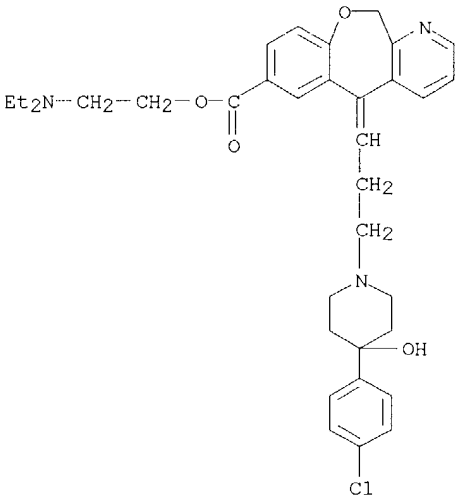
RN 324784-74-9 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclopentyl ester (9CI) (CA INDEX NAME)



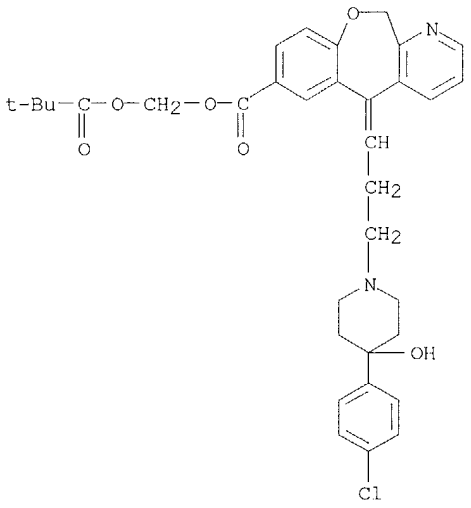
RN 324784-76-1 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)



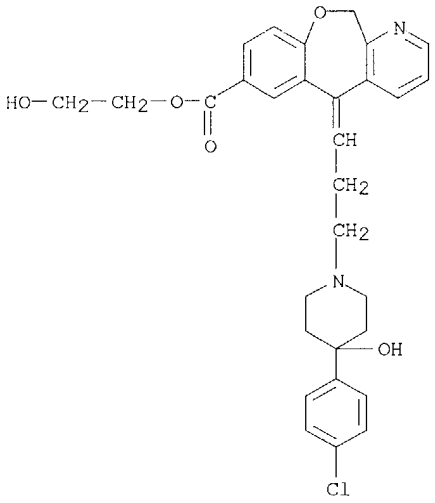
RN 324784-78-3 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)



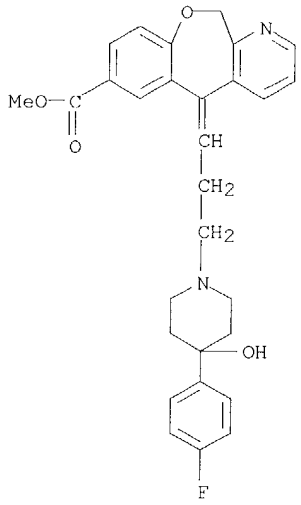
RN 324784-80-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, (2,2-dimethyl-1-oxopropoxy)methyl ester (9CI) (CA INDEX NAME)



RN 324784-82-9 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-hydroxyethyl ester (9CI) (CA INDEX NAME)



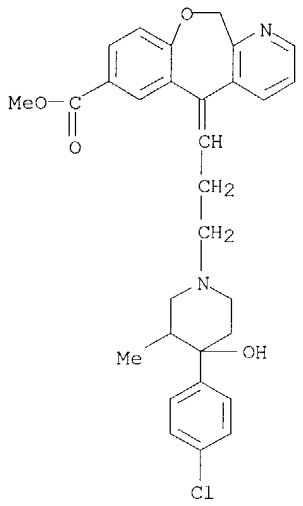
IT **324785-94-6**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)
RN 324785-94-6 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)



IT **475085-24-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)
RN 475085-24-6 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-3-methyl-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester, monoformate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 475085-23-5
CMF C30 H31 Cl N2 O4



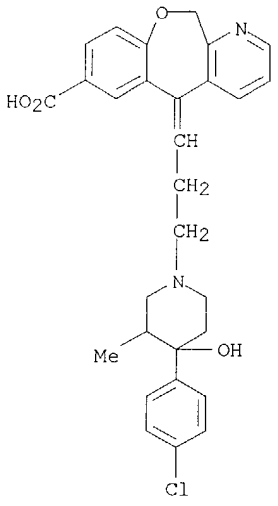
CM 2

CRN 64-18-6
CMF C H2 O2

IT **475085-30-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)
RN 475085-30-4 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-3-methyl-1-piperidinyl]propylidene]-5,11-dihydro-, monoformate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 475085-29-1
CMF C29 H29 Cl N2 O4



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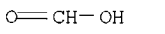

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:658747 CAPLUS
DN 137:185480
TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists
IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo; Sone, Hiroki; Kotera, Osamu; Harriman, Geraldine C. B.
PA USA
SO U.S. Pat. Appl. Publ., 102 pp., Cont.-in-part of U.S. Ser. No. 235,102.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002119973	A1	20020829	US 1999-362837	19990728
	US 6509346	B2	20030121		
	US 6613905	B1	20030902	US 1998-148823	19980904
	US 6329385	B1	20011211	US 1999-235102	19990121
	WO 2001009138	A2	20010208	WO 2000-US20732	20000728

WO 2001009138 A3 20010913
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EP 1204665 A2 20020515 EP 2000-950880 20000728
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PRAI US 1998-10320 B2 19980121
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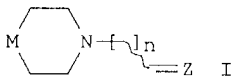
US 1999-235102 A2 19990121
US 1999-362837 A 19990728
US 2000-627886 A2 20000728
WO 2000-US20732 W 20000728
US 2001-989086 A2 20011121
OS MARPAT 137:185480

GI



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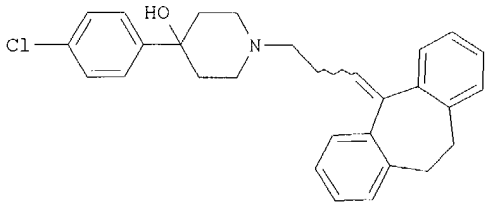


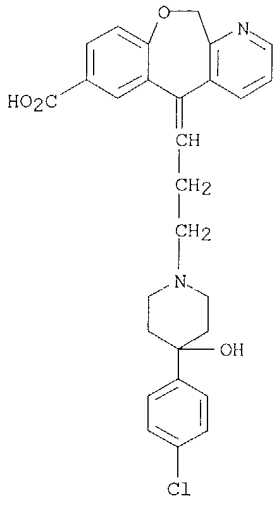
II

AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective tricyclic-substituted piperidinols and analogs thereof, represented by structural formula I [M = CR1R2 where R1 = H, OH, alkyl, (un)substituted alkoxy, SR3; R3 = H or substituted alkyl, (un)substituted alkylcarboxy, alkoxycarbonyl, CN, COOH, CONR4R5; R2 = OH, (un)substituted acyl, NR6R7, (un)substituted alkyl, aryl, etc.; R4-7 = H, (un)substituted acyl, aliphatic aromatic, heterocycle, etc., or, R1, R2, R4 and R5, or R6 and R7 taken together with the atom to which they are bonded form a (un)substituted carbocyclic or heterocyclic ring; Z = (un)substituted

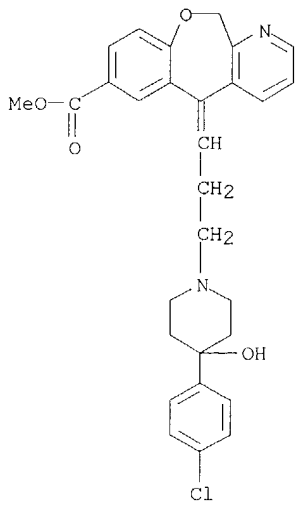
cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4] and their physiol. acceptable salts are prepared Chemokine binding activities of test compds. are reported with IC50 values ranging from <1 to <1000 μM. Thus, II was prepared via substitution of 5-(3-bromopropylidene)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene with 4-(4-chlorophenyl)-4-hydroxypiperidine.

IT **233260-14-5P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- **324782-15-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233260-14-5 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

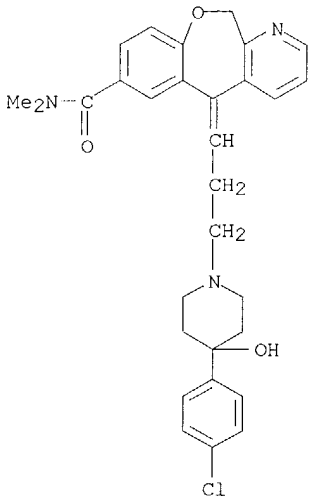


RN 324782-15-2 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)

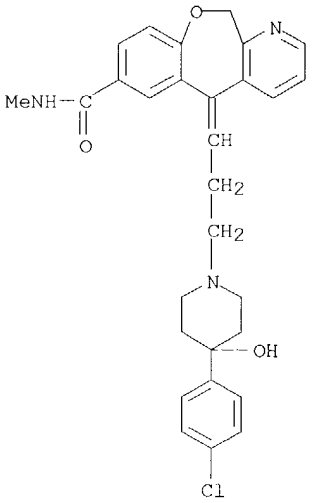


IT **233261-19-3P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- **324782-09-4P 324782-11-8P 324782-13-0P 324782-61-8P 324782-63-0P 324782-65-2P 324782-79-8P 324782-81-2P 452092-87-4P 452092-88-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233261-19-3 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)

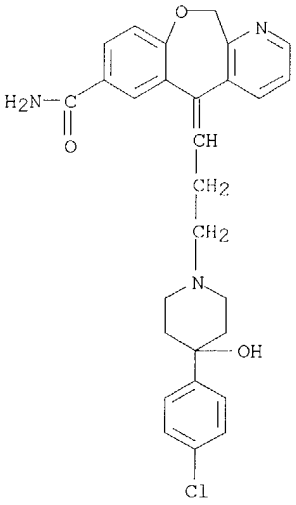


RN 324782-09-4 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)

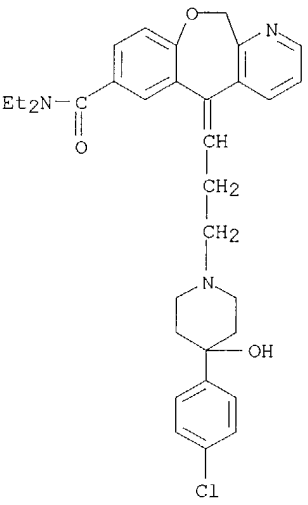


RN 324782-11-8 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-

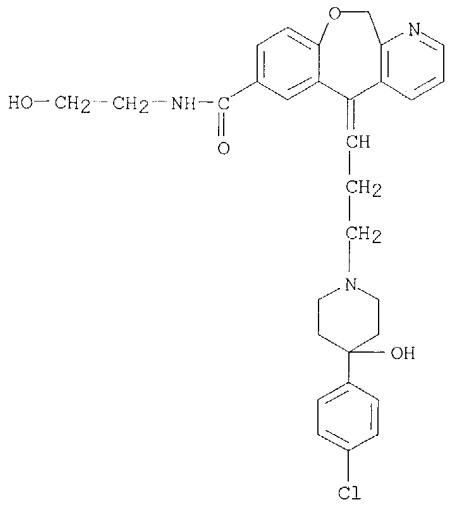
hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



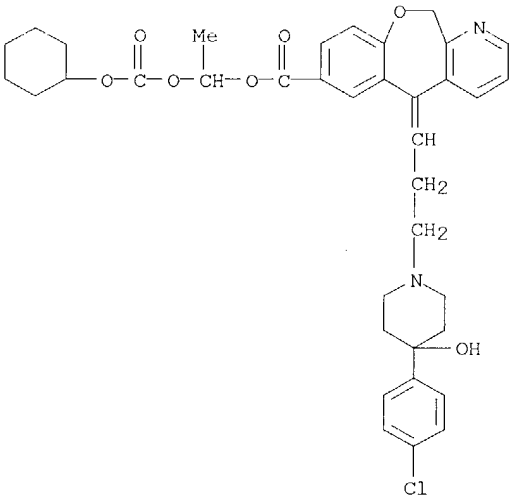
RN 324782-13-0 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-N,N-diethyl-5,11-dihydro- (9CI) (CA INDEX NAME)



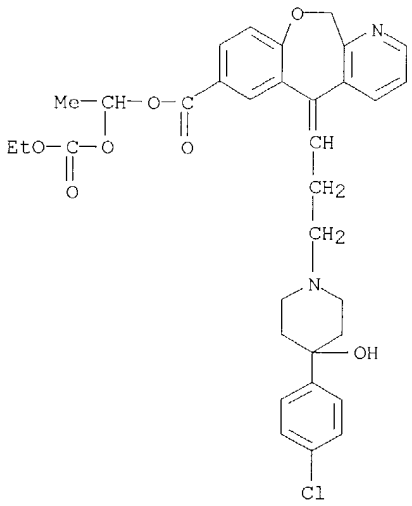
RN 324782-61-8 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



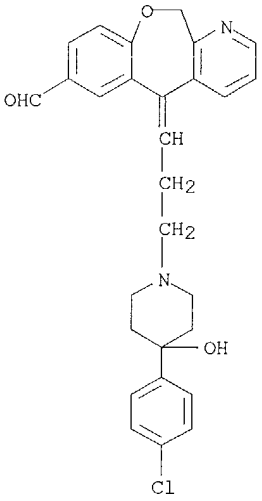
RN 324782-63-0 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[[(cyclohexyloxy)carbonyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



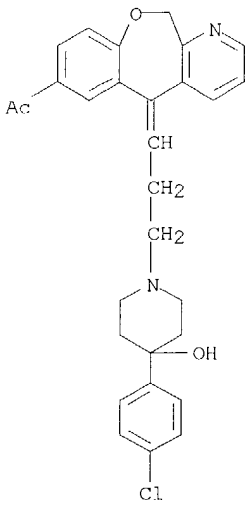
RN 324782-65-2 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(ethoxycarbonyl)oxy]ethyl ester (9CI) (CA INDEX NAME)



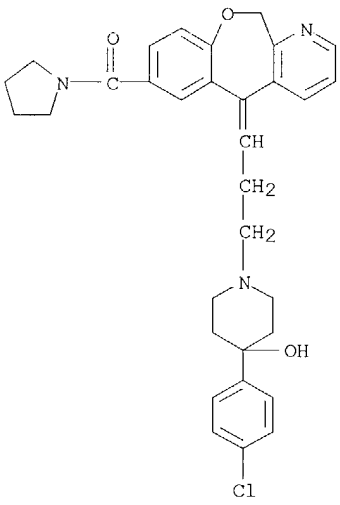
RN 324782-79-8 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 324782-81-2 CAPLUS
CN Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

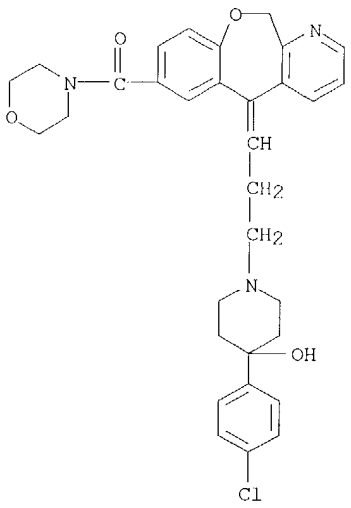


RN 452092-87-4 CAPLUS
CN Pyrrolidine, 1-[[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 452092-88-5 CAPLUS
CN Morpholine, 4-[[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

10706835



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:896498 CAPLUS
DN 136:20060
TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists
IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo
PA Millennium Pharmaceuticals, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
SO U.S., 71 pp., Cont.-in-part of U.S. Ser. No. 148,823.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6329385	B1	20011211	US 1999-235102	19990121
	US 6613905	B1	20030902	US 1998-148823	19980904
	US 2002119973	A1	20020829	US 1999-362837	19990728
	US 6509346	B2	20030121		
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PRAI	US 1998-10320	B2	19980121
	US 1998-148823	A2	19980904
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OS MARPAT 136:20060
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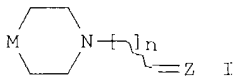

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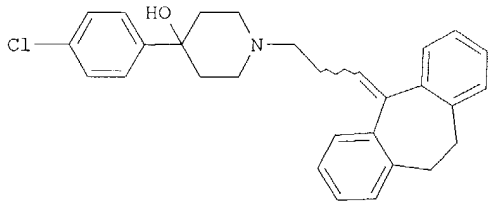


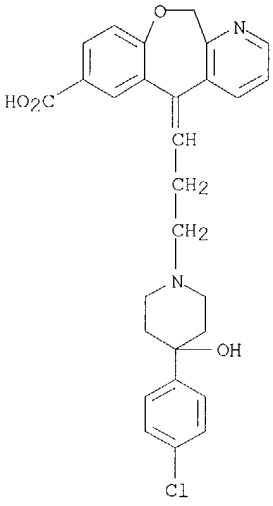
II

AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective tricyclic-substituted piperidinols and analogs thereof, represented by structural formula I (M = CR1R2 where R1 = H, OH, alkyl, (un)substituted alkoxy, SR3 wherein R3 = H or substituted alkyl, (un)substituted alkylcarboxy, alkoxycarbonyl, CN, COOH, CONR4R5; R2 = OH, (un)substituted acyl, NR6R7, (un)substituted alkyl, aryl, etc., wherein R4, R5, R6 and R7 are independently H, (un)substituted acyl, aliphatic aromatic, heterocycle, etc. or , R1 and R2, R4 and R5, or R6 and R7 taken together with the atom to which they are bonded form a (un)substituted carbocyclic or heterocyclic ring; Z = (un)substituted cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4), and their physiol. acceptable salts are prepared Chemokine binding activities of test compds. are reported with IC50 values ranging from <1 to <1000 uM. Thus, II was prepared via substitution of 5-(3-bromopropylidene)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene with 4-(4-chlorophenyl)-4-hydroxypiperidine.

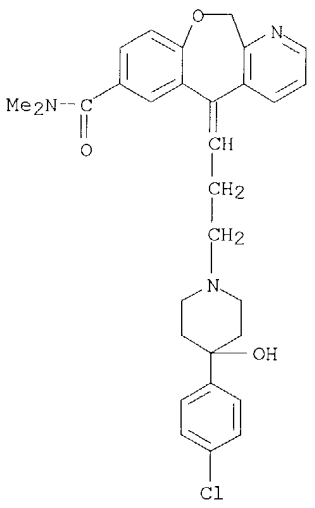
IT **233260-14-5P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233260-14-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



IT **233261-19-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)
RN 233261-19-3 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:101145 CAPLUS
DN 134:163016
TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists
IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo; Sone, Hiroki; Kotera, Osamu; Harriman, Geraldine C. B.; Carson, Kenneth G.
PA Millennium Pharmaceuticals, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
SO PCT Int. Appl., 323 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 6

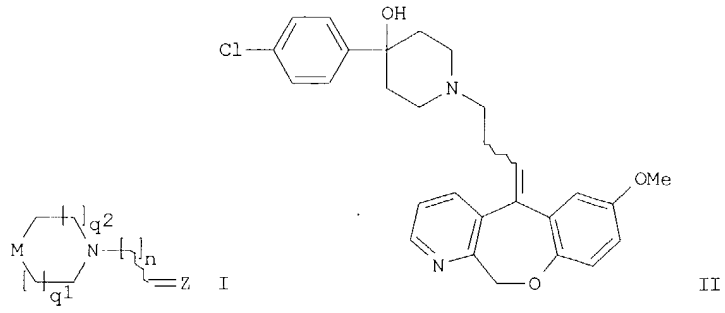
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001009138	A2	20010208	WO 2000-US20732	20000728
	WO 2001009138	A3	20010913		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002119973	A1	20020829	US 1999-362837	19990728
	US 6509346	B2	20030121		
	EP 1204665	A2	20020515	EP 2000-950880	20000728
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	BR 2000013065	A	20020730	BR 2000-13065	20000728
	JP 2003506377	T2	20030218	JP 2001-514341	20000728
PRAI	US 1999-362837	A	19990728		

10706835

	US 1998-10320	B2	19980121
	US 1998-148823	A2	19980904
	US 1999-235102	A2	19990121
	WO 2000-US20732	W	20000728

OS MARPAT 134:163016

GI



I II

AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective compds. represented by structural formula I [Z = (un)substituted cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4; M = NR2, CR1R2, OCR1R2O, CH2CR1R2O; R1 = H, OH, N3, etc.; R2 = H, acyl, aryl, etc.; q1 = 0-3; q2 = 0-1; ring containing M is substituted or unsubstituted] and physiol. acceptable salts thereof are prepared Chemokine binding activities of test compds. are reported with IC50 values ranging from < 1 to < 1000 μM. Thus, 4-(4-chlorophenyl)-1-[3-(5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-ylidene)propyl]piperidin-4-ol (II) is prepared in three steps by reaction of 5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-one with cyclopropylmagnesium bromide in THF, followed by ring cleavage-dehydration-bromination with HBr, and addition of 4-(4-chlorophenyl)-4-hydroxypiperidine to the bromide in DMF. Major and minor isomers were separated

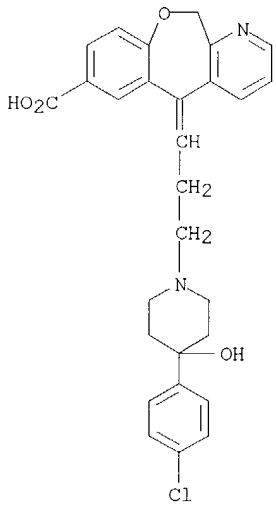
IT **233260-14-5P 324782-15-2P 324782-79-8P 324782-81-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

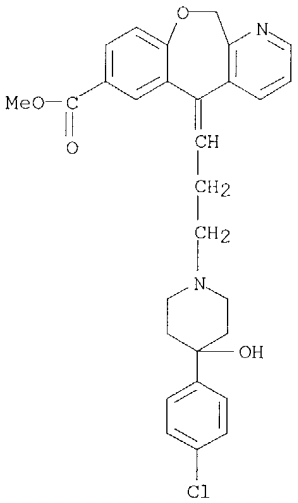
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233260-14-5 CAPLUS

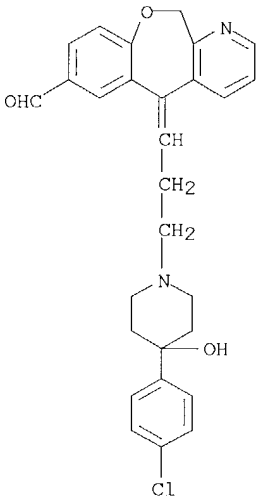
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



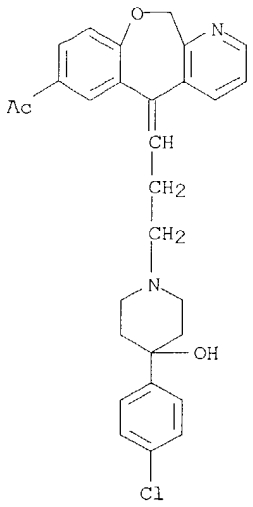
RN 324782-15-2 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)



RN 324782-79-8 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



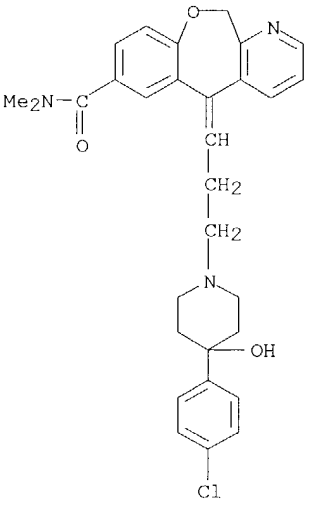
RN 324782-81-2 CAPLUS
CN Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



IT **233261-19-3P 324782-09-4P 324782-11-8P**
324782-13-0P 324782-61-8P 324782-63-0P
324782-65-2P 324783-35-9P 324783-37-1P
324783-39-3P 324783-41-7P 324783-98-4P
324784-40-9P 324784-42-1P 324784-62-5P
324784-64-7P 324784-66-9P 324784-68-1P
324784-70-5P 324784-72-7P 324784-74-9P
324784-76-1P 324784-78-3P 324784-80-7P
324784-82-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

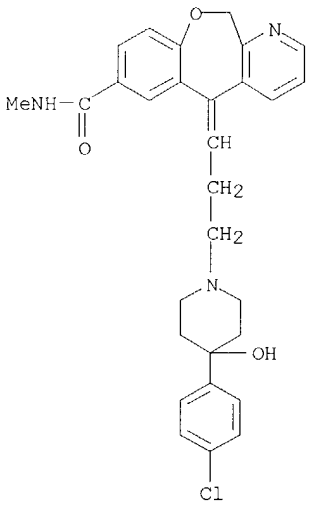
RN 233261-19-3 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)

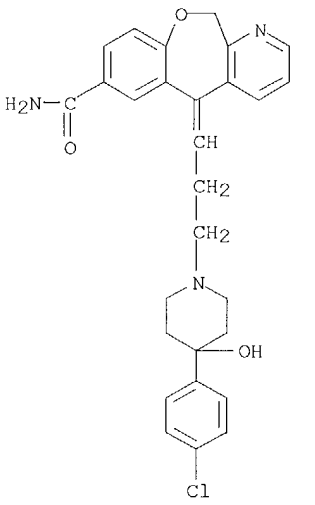


RN 324782-09-4 CAPLUS

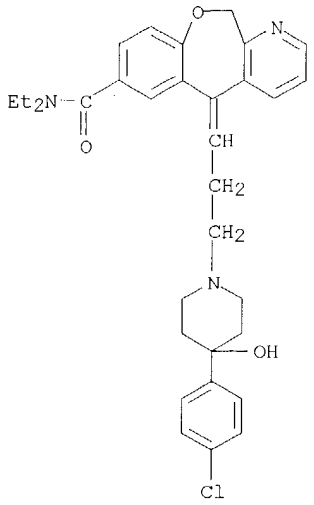
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



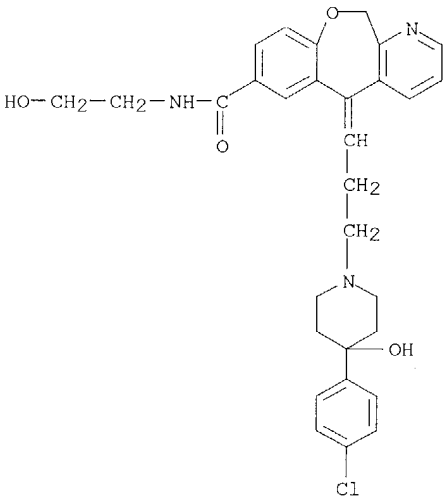
RN 324782-11-8 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



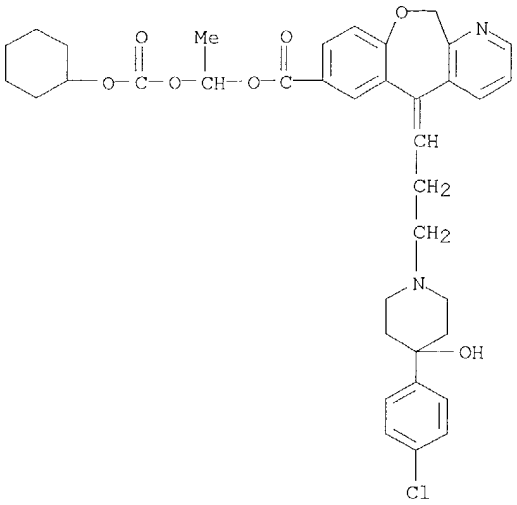
RN 324782-13-0 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-N,N-diethyl-5,11-dihydro- (9CI) (CA INDEX NAME)



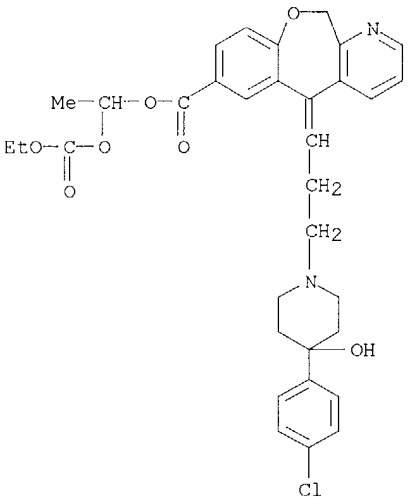
RN 324782-61-8 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



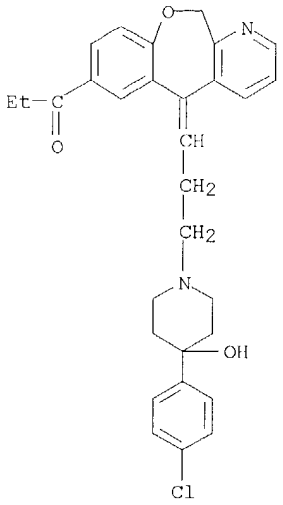
RN 324782-63-0 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[[(cyclohexyloxy)carbonyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



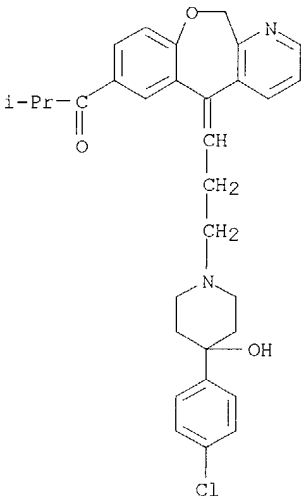
RN 324782-65-2 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(ethoxycarbonyl)oxy]ethyl ester (9CI) (CA INDEX NAME)



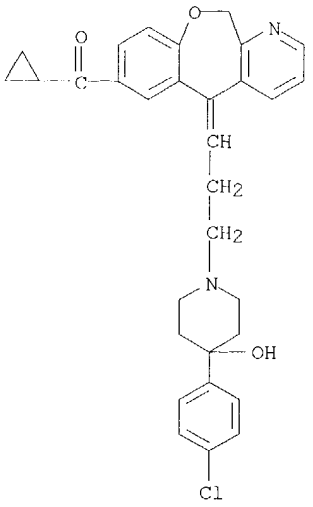
RN 324783-35-9 CAPLUS
CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



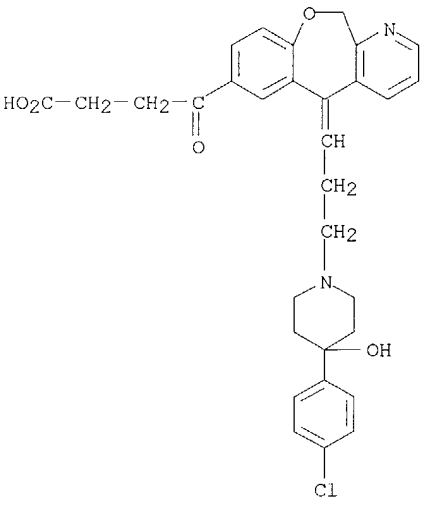
RN 324783-37-1 CAPLUS
CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)



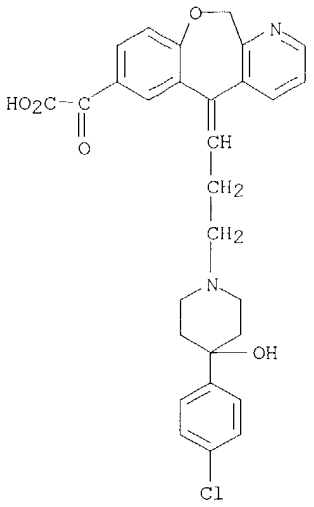
RN 324783-39-3 CAPLUS
CN Methanone, [5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]cyclopropyl- (9CI) (CA INDEX NAME)



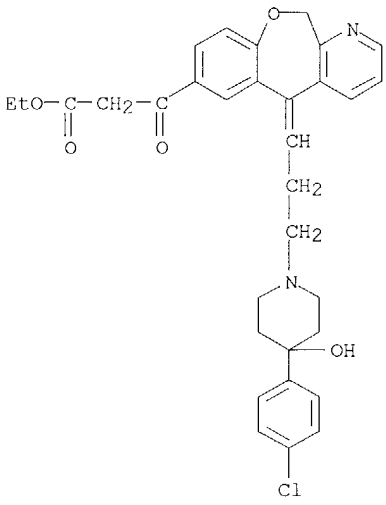
RN 324783-41-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-γ-oxo- (9CI) (CA INDEX NAME)



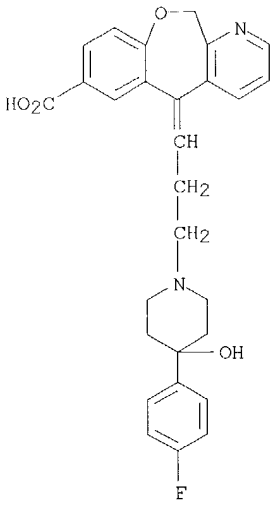
RN 324783-98-4 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-acetic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-α-oxo- (9CI) (CA INDEX NAME)



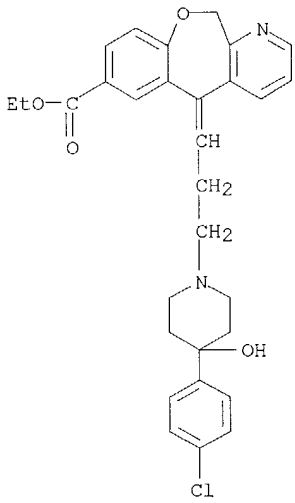
RN 324784-40-9 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-propanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-β-oxo-, ethyl ester (9CI) (CA INDEX NAME)



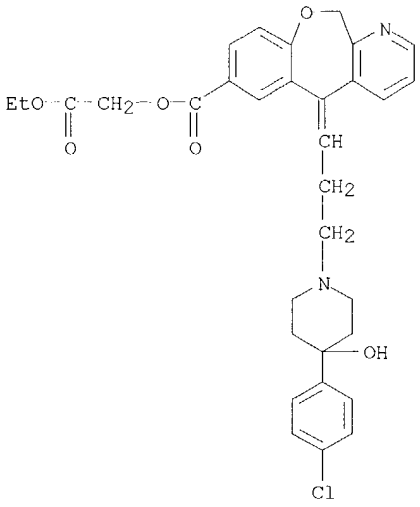
RN 324784-42-1 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



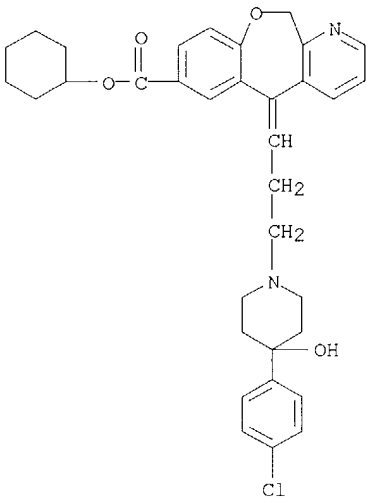
RN 324784-62-5 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, ethyl ester (9CI) (CA INDEX NAME)



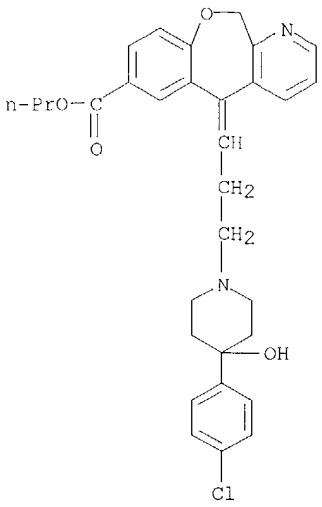
RN 324784-64-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-ethoxy-2-oxoethyl ester (9CI) (CA INDEX NAME)



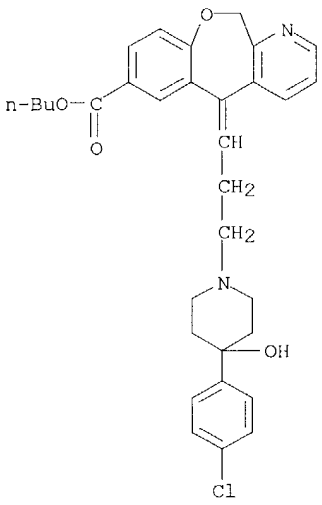
RN 324784-66-9 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclohexyl ester (9CI) (CA INDEX NAME)



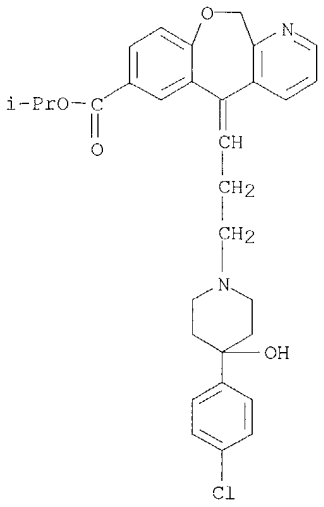
RN 324784-68-1 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, propyl ester (9CI) (CA INDEX NAME)



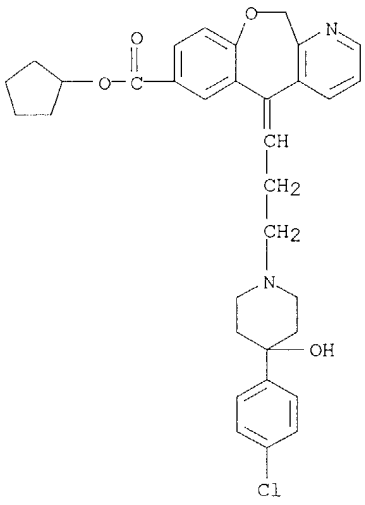
RN 324784-70-5 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, butyl ester (9CI) (CA INDEX NAME)



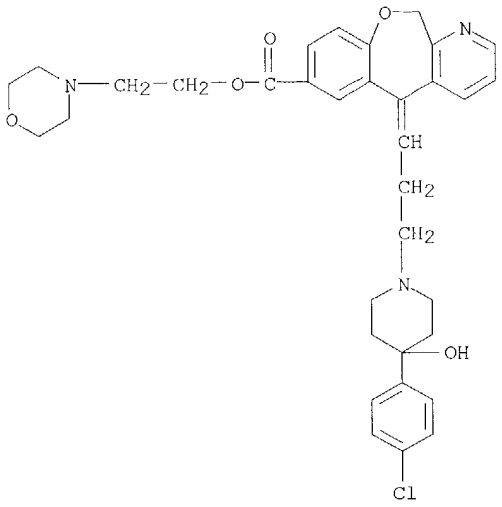
RN 324784-72-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-methylethyl ester (9CI) (CA INDEX NAME)



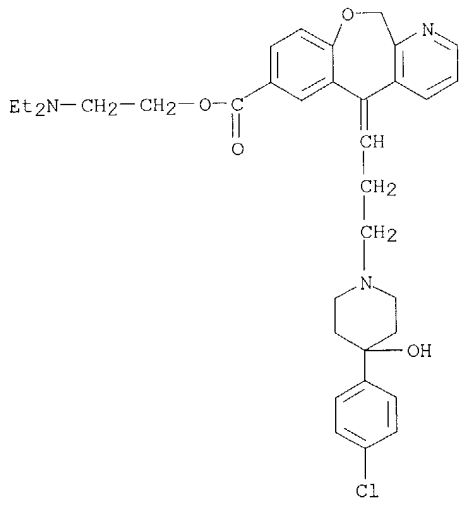
RN 324784-74-9 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclopentyl ester (9CI) (CA INDEX NAME)



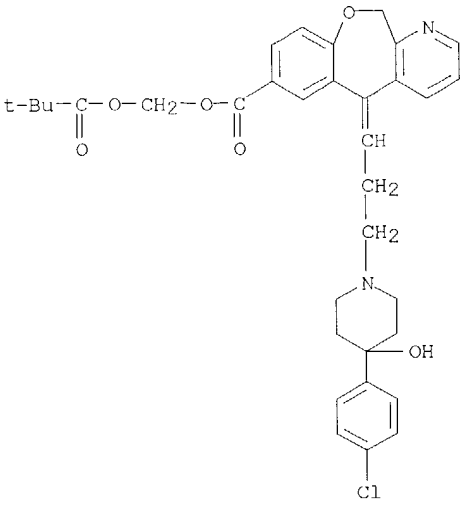
RN 324784-76-1 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)



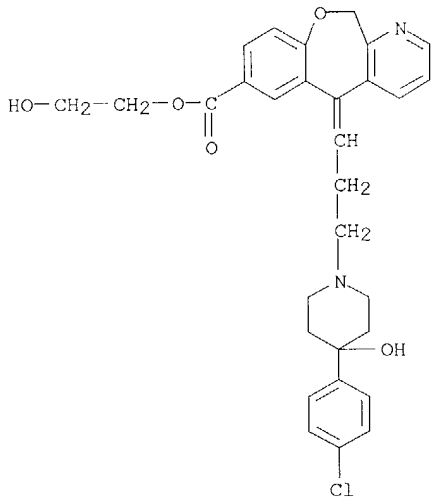
RN 324784-78-3 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)



RN 324784-80-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, (2,2-dimethyl-1-oxopropoxy)methyl ester (9CI) (CA INDEX NAME)

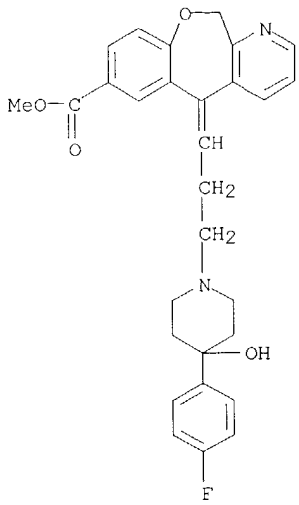


RN 324784-82-9 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-hydroxyethyl ester (9CI) (CA INDEX NAME)

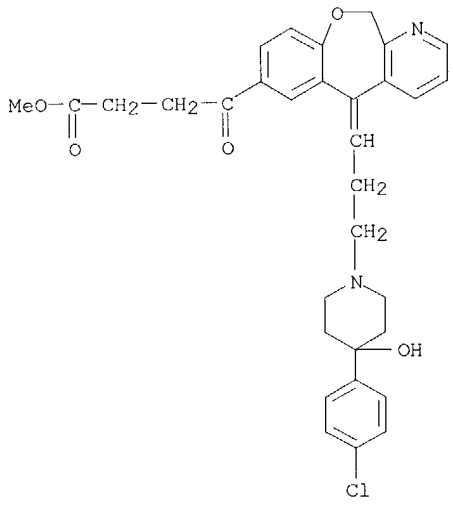


IT **324785-94-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 324785-94-6 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)



IT **324785-37-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)
RN 324785-37-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-γ-oxo-, methyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:487299 CAPLUS
DN 131:116224
TI Tricyclic-substituted piperidinols and analogs useful as chemokine receptor antagonists and methods of use therefor
IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo
PA Leukosite, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
SO PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 6
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 9937651	A1	19990729	WO 1999-US1266	19990121
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	CA 2319077	AA	19990729	CA 1999-2319077	19990121
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	EP 1049700	A1	20001108	EP 1999-903255	19990121
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
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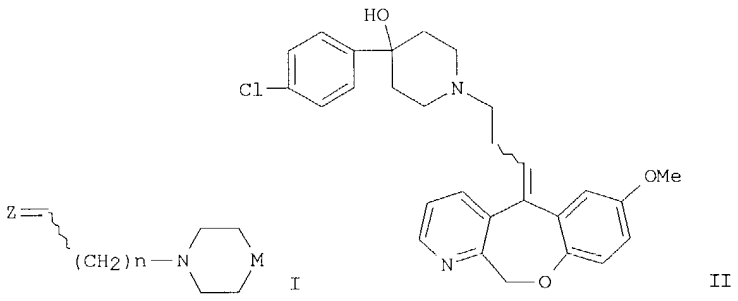
PRAI	US 1998-10320	A	19980121		
	US 1998-148823	A2	19980904		
	WO 1999-US1266	W	19990121		
OS	MARPAT 131:116224				
GI					



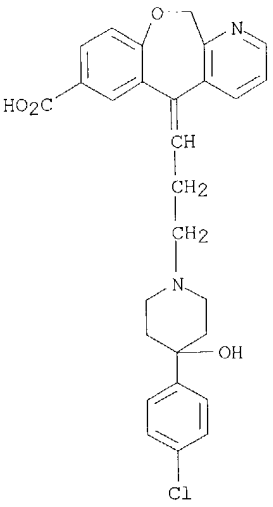
I II

AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective compds. represented by structural formula I [Z = (un)substituted cycloalkyl or non-aromatic heteocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4 or (CH2)n may be replaced by an aliphatic or aromatic spacer group; M = NR2, CR1R2; R1 = H, OH, aliphatic group, CN, (un)substituted OH, SH, CO2H, carbamoyl, or amino, cyano, etc.; R2 = H, OH, (un)substituted aliphatic group, aromatic group, benzylic group, or non-aromatic heterocyclic group; R groups may form rings] and physiol. acceptable salts thereof are prepared Chemokine binding activities of test compds. are reported with IC50 values ranging from < 1 to < 1000 μM. Thus, 4-(4-chlorophenyl)-1-[3-(5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-ylidene)propyl]piperidin-4-ol (II) is prepared in three steps by reaction of 5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-one with cyclopyropylmagnesium bromide in THF, followed by ring cleavage-dehydration-bromination with HBr, and addition of 4-(4-chlorophenyl)-4-hydroxypiperidine to the bromide in DMF. Major and minor isomers were separated

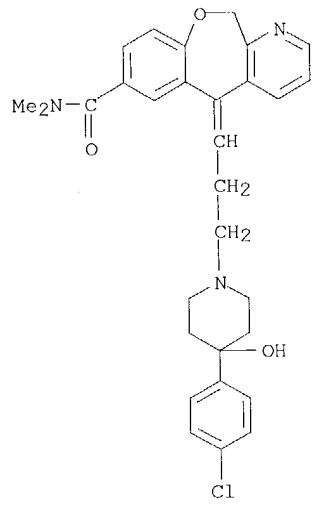
IT **233260-14-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233260-14-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



IT **233261-19-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)
RN 233261-19-3 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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